updates searched

L7 ANSWER 22 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN
GI For diagram(s), see printed CA Issue.
AB Heterocyclyl (thio)carbamate and (thio)urea derivs. represented by general formula [I; R = (un)substituted aryl; R1 = cycloalkyl, (un)substituted aryl; R2 = H, OH, lower alkyl, lower alkoxy, cycloalkyl, aryl; R3 = H, lower alkyl; X = O, S; Y = O, S, (un)substituted NH, CH2, OCH2; ring A = heterocyclyl Q - Q1; wherein m, n = 1-4, provided that m + n = 3-5; l = 1-3, provided that m + l = 3-5; p, q = 0, 1; r, s, t = 0-3, provided that r + s + t = 2 or 3; Z = N(O)qR4, N+R5R6.Q-; Z1 = N(O)q, N+R6.Q-; wherein Q- = anion; R4 = H, lower alkyl, alkenyl, or alkynyl, B-R7; R5 = lower alkyl, alkenyl, or alkynyl, B-R7; R6 = lower alkyl, alkenyl, or alkynyl; wherein R7 = cycloalkyl, lower (hydroxy)alkoxy, benzhydryl, (un)substituted aryl, optionally benzene ring-fused or (un)substituted heterocyclyl containing 1 or 2 heteroatoms; B = single bond, lower alkylene, alkenylene, or alkynylene] or salts, hydrates or solvates thereof are prepared A muscarine M3 receptor antagonist for preventing or treating digestive tract, respiratory or urol. diseases such as irritable bowel syndrome, spasmodic colitis, diverticulitis, chronic obstructive lung diseases, chronic bronchitis, asthma, rhinitis, neural pollakiurea, nocturnal enuresis, nervous bladder, unstable bladder, bladder contracture, chronic cystitis, urinary incontinence, and pollakiurea, contains the said compound I. Thus, 2.92 g NaBH(OAc)3 was added portion-wise to a solution of 1.60 g 4-piperidyl N-benzhydrylcarbamate hydrochloride (preparation given) and 0.40 mL 3-thiophenecarbaldehyde in 20 mL ClCH2CH2Cl and the resulting mixture was stirred at room temperature overnight to give, after silica gel chromatog. and salt formation, a title compound [II.(CO2H)2]. II.(CO2H)2 in vitro showed binding affinity to muscarine M1 receptor of cerebral cortex, muscarine M2 receptor of heart, and muscarine M3 receptor of submaxillary gland with Ki value of 1.0, 350, and 6.0 nM, resp., and Ki(M2 receptor)/Ki (M3 receptor) ratio of 58.
AN 1995:849168 CAPLUS
DN 123:285789
TI Preparation of heterocyclyl carbamate derivatives with muscarine M3 receptor antagonism
IN Takeuchi, Makoto; Naito, Ryo; Morihira, Koichiro; Hayakawa, Masahiko; Ikeda, Ken; Isomura, Yasuo; Tomioka, Kenichi
PA Yamanouchi Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 138 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9506635	A1	19950309	WO 1994-JP1436	19940831
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				JP 1993-218620 A	19930902
				JP 1994-77575 A	19940415
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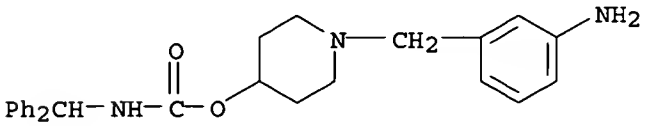
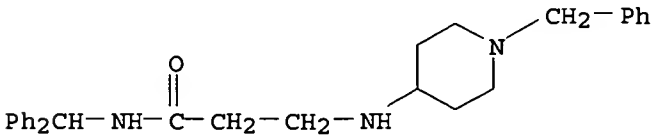
				JP 1993-218620 A	19930902
				JP 1994-77575 A	19940415
				WO 1994-JP1436 W	19940831

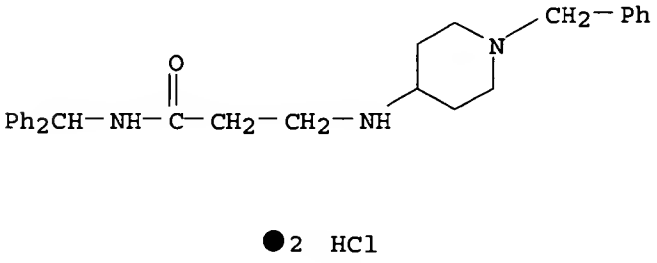
OS MARPAT 123:285789
IT **168830-01-1P 168830-81-7P 168830-82-8P**
168830-86-2P 168830-88-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate for preparation of heterocyclyl (thio)carbamate derivs. as muscarine M3 receptor antagonists)
RN 168830-01-1 CAPLUS
CN Carbamic acid, (diphenylmethyl)-, 1-[(3-aminophenyl)methyl]-4-piperidinyl ester (9CI) (CA INDEX NAME)



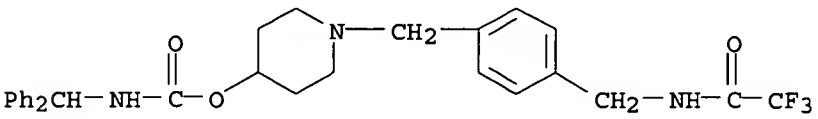
RN 168830-81-7 CAPLUS
CN Propanamide, N-(diphenylmethyl)-3-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)



RN 168830-82-8 CAPLUS
CN Propanamide, N-(diphenylmethyl)-3-[[1-(phenylmethyl)-4-piperidinyl]amino]-, dihydrochloride (9CI) (CA INDEX NAME)

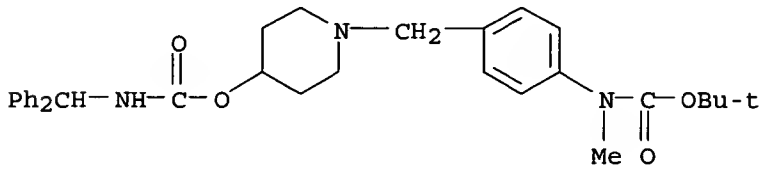


RN 168830-86-2 CAPLUS
CN Carbamic acid, (diphenylmethyl)-, 1-[[4-[[(trifluoroacetyl)amino]methyl]phenyl]methyl]-4-piperidinyl ester (9CI) (CA INDEX NAME)



RN 168830-88-4 CAPLUS

CN Carbamic acid, [4-[[4-[[[(diphenylmethyl)amino]carbonyl]oxy]-1-piperidinyl]methyl]phenyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



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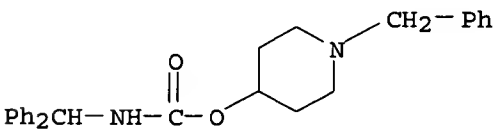
168830-66-8P 168830-71-5P 168830-73-7P
168830-74-8P 168830-75-9P 168830-77-1P
168830-80-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclyl (thio)carbamate derivs. as muscarine M3 receptor antagonists)

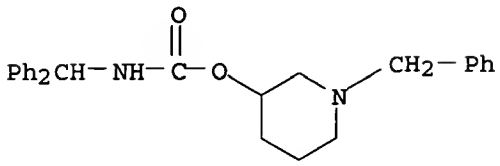
RN 168829-04-7 CAPLUS
CN Carbamic acid, (diphenylmethyl)-, 1-(phenylmethyl)-4-piperidinyl ester (9CI) (CA INDEX NAME)



RN 168829-08-1 CAPLUS
CN Carbamic acid, (diphenylmethyl)-, 1-(phenylmethyl)-3-piperidinyl ester, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

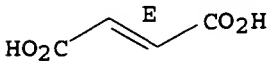
CRN 168829-07-0
CMF C26 H28 N2 O2



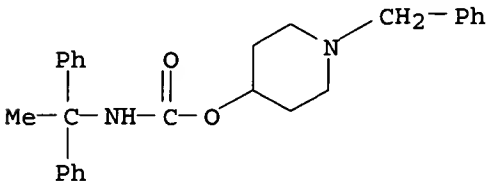
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



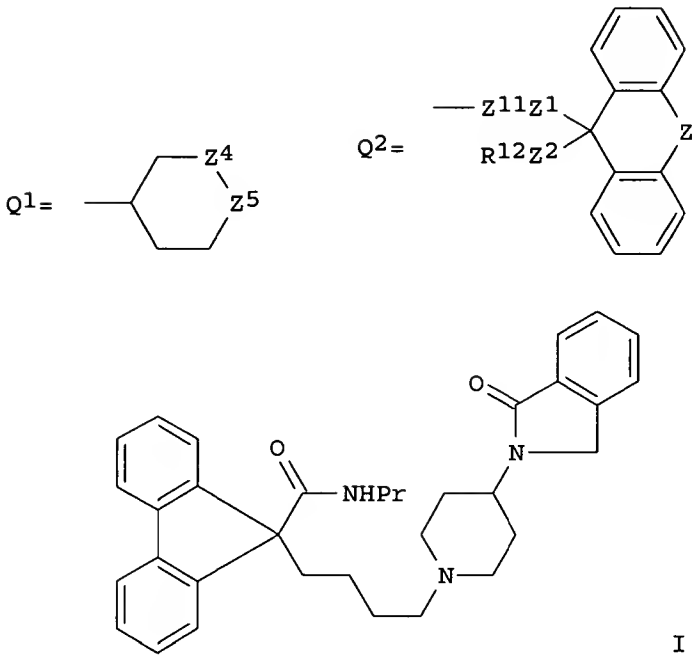
RN 168829-09-2 CAPLUS
CN Carbamic acid, (1,1-diphenylethyl)-, 1-(phenylmethyl)-4-piperidinyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 168829-14-9 CAPLUS
CN Carbamic acid, (triphenylmethyl)-, 1-(phenylmethyl)-4-piperidinyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

L7 ANSWER 19 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN
GI



I

AB R5Z3NRR6 [R = piperidyl group Q1; R5 = alkyl, alkoxy, (hetero)aryl, etc.; R6 = H, alk(en)yl; R5R6 = atoms to form a benzanellated ring; Z3 = CO or SO2; 1 of Z4,Z5 = NR1 and the other = CH2; R1 = e.g., (un)substituted aryl group Q2; R12 = H, (halo)alkyl, heteroaryl, etc.; Z = bond, O, S, alkylimino, etc.; Z1,Z2 = bond, O, SO0-2, CO, etc.; Z11 = bond, alkylene, arylene, etc.] were prepared as microsomal triglyceride transfer protein inhibitors (no data). Thus, N-propyl-9-fluorenecarboxamide (preparation given) was alkylated by I(CH2)4OSiMe2CMe3 (preparation given) and the deprotected and iodinated product aminated by 2-(4-piperidinyl)-2,3-dihydro-1H-isoindol-1-one (preparation given) to give title compound I.

AN 1996:641305 CAPLUS
DN 125:275663
TI Preparation of 9-(piperidinoalkyl)fluorene-9-carboxamides and analogs as microsomal triglyceride transfer protein inhibitors
IN Wetterau, John R. II; Sharp, Daru Young; Gregg, Richard E.; Biller, Scott A.; Dickson, John A.; Lawrence, R. Michael; Magnin, David R.; Poss, Michael A.; Robl, Jeffrey A.; et al.
PA Bristol-Myers Squibb Company, USA
SO PCT Int. Appl., 427 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9626205	A1	19960829	WO 1996-US824	19960201

W: AU, BG, CA, CN, CZ, EE, FI, GE, HU, JP, KR, LT, LV, MX, NO, NZ, PL, RO, RU, SG, SK, UA
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

				US 1995-391901	A	19950221
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HU 67962		A2	19950529	HU 1993-627		19930305
HU 218419		B	20000828			
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EP 584446		A2	19940302	EP 1993-103697		19930308
EP 584446		A3	19950426			
EP 584446		B1	20020619			

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE

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ES 2178640		T3	20030101	ES 1993-103697		19930308
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AU 670930		B2	19960808	AU 1993-34064		19930309
AU 9334064		A1	19930909			
				US 1992-847503	A	19920306
US 5739135		A	19980414	US 1995-472067		19950606
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AU 9647631		A1	19960911	AU 1996-47631		19960201
AU 699865		B2	19981217			
				US 1995-391901	A	19950221
				US 1995-472067	A	19950606
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ZA 9601340		A	19970911	ZA 1996-1340		19960220
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FI 9703416	A	19970820	FI 1997-3416		19970820
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			US 1995-391901	A	19950221

PATENT FAMILY INFORMATION:

FAN 1995:568500

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
PI	EP 643057	A1	19950315	EP 1994-113800		19940902
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FAN 1998:115356

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PI	US 5712279	A	19980127	US 1996-548811		19960111
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FAN 1998:236274

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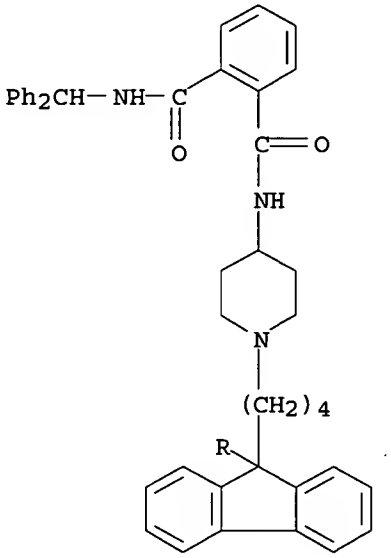
OS MARPAT 125:275663
IT **182432-59-3P 182436-56-2P 182438-01-3P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 9-(piperidinoalkyl)fluorene-9-carboxamides and analogs as microsomal triglyceride transfer protein inhibitors)

RN 182432-59-3 CAPLUS

CN 1,2-Benzenedicarboxamide, N-(diphenylmethyl)-N'-[1-[4-[9-[[(2,2,2-trifluoroethyl)amino]carbonyl]-9H-fluoren-9-yl]butyl]-4-piperidinyl]-(9CI) (CA INDEX NAME)

PAGE 1-A



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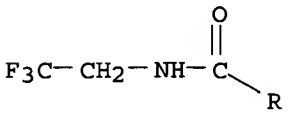

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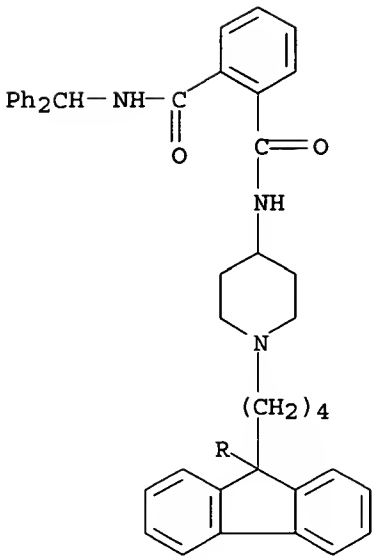
PAGE 1-A



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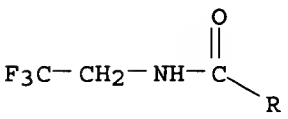

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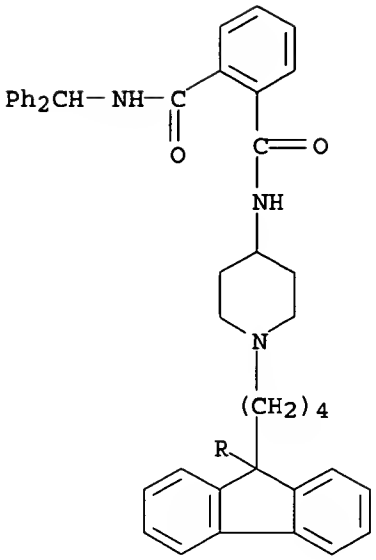
RN 182438-01-3 CAPLUS
CN 1,2-Benzenedicarboxamide, N-(diphenylmethyl)-N'-[1-[4-[9-[[(2,2,2-trifluoroethyl)amino]carbonyl]-9H-fluoren-9-yl]butyl]-4-piperidinyl]-, dihydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 14 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN

AB The replacement of the benzhydrylic oxygen atom of our previously developed dopamine transporter (DAT)-specific ligands 4-[2-(diphenylmethoxy)ethyl]-1-[(4-fluorophenyl)methyl]piperidine and 4-[2-(bis(4-fluorophenyl)methoxy)ethyl]-1-benzylpiperidine by a nitrogen atom resulted in the development of N-analogs 4-[2-((diphenylmethyl)amino)ethyl]-1-[(4-fluorophenyl)methyl]piperidine and 4-[2-((bis(4-fluorophenyl)methyl)amino)ethyl]-1-benzylpiperidine. Biol. evaluation of these compds. in rat striatal tissue and in HEK-293 cells expressing the cloned human transporters demonstrated high potency and selectivity of these compds. for the DAT. Thus the potency of 4-[2-((diphenylmethyl)amino)ethyl]-1-[(4-fluorophenyl)methyl]piperidine for the DAT was 9.4 and 30 nM in rat striatal tissue and in the cloned transporter cells, and its binding selectivity for the DAT compared to the serotonin transporter (SERT) for these two systems was 62 and 195, resp. Similarly, 4-[2-((bis(4-fluorophenyl)methyl)amino)ethyl]-1-benzylpiperidine exhibited high potency and selectivity for the DAT. Thus, the replacement of the O atom in the parent compds. only had small effects on potency and selectivity. In comparison with GBR 12909 [1-[2-(bis(4-fluorophenyl)methoxy)ethyl]-4-(3-phenylpropyl)piperazine] and WIN 35,428 [3β-(p-fluorophenyl)-2β-carbomethoxytropane] binding, these two novel N-analogs were slightly more potent and far more selective for the DAT. Thus, these novel N-analogs represent more polar new-generation piperidine congeners of GBR 12909. They might have useful potential application in developing a pharmacotherapy for cocaine dependence.

AN 1998:505470 CAPLUS
DN 129:175524

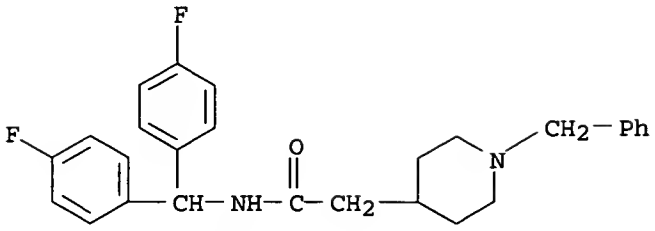
TI Tolerance in the Replacement of the Benzhydrylic O Atom in 4-[2-(Diphenylmethoxy)ethyl]-1-benzylpiperidine Derivatives by an N Atom: Development of New-Generation Potent and Selective N-Analog Molecules for the Dopamine Transporter

AU Dutta, Aloke K.; Xu, Cen; Reith, Maarten E. A.
CS Organix Inc., Woburn, MA, 01801, USA

SO Journal of Medicinal Chemistry (1998), 41(17), 3293-3297
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English

IT **211631-82-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of [((phenylmethyl)amino)ethyl][(fluorophenyl)methyl]piperidine derivs. as dopamine transporter ligands)

RN 211631-82-2 CAPLUS
CN 4-Piperidineacetamide, N-[bis(4-fluorophenyl)methyl]-1-(phenylmethyl)-(9CI) (CA INDEX NAME)



IT **211631-81-1P**